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FILE 'CPLUS' ENTERED AT 12:04:45 ON 17 APR 2008
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	665.86	845.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-97.60	-97.60

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	665.86	845.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-97.60	-97.60

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STRUCTURE FILE UPDATES: 15 APR 2008 HIGHEST RN 1015083-77-8
DICTIONARY FILE UPDATES: 15 APR 2008 HIGHEST RN 1015083-77-8

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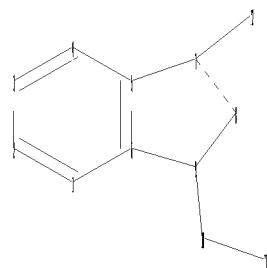
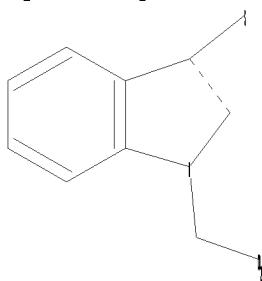
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17/04/2008, 10521325.trn

=>

Uploading C:\Program Files\Stnexp\Queries\10521325.str



chain nodes :

10 11 12

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

7-12 9-10 10-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

5-7 6-9 7-8 7-12 8-9 9-10

exact bonds :

10-11

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

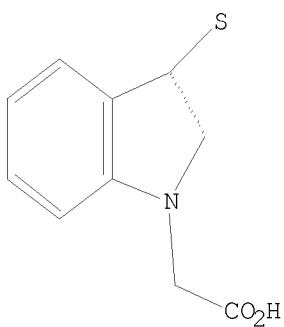
11:CLASS 12:CLASS

L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS

L6 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 16

SAMPLE SEARCH INITIATED 12:05:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 21 TO ITERATE

100.0% PROCESSED 21 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 146 TO 694
PROJECTED ANSWERS: 68 TO 532

L7 15 SEA SSS SAM L6

=> s 16 full
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FULL SCREEN SEARCH COMPLETED - 407 TO ITERATE

100.0% PROCESSED 407 ITERATIONS 214 ANSWERS
SEARCH TIME: 00.00.01

L8 214 SEA SSS FUL L6

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 178.36 1023.42
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE 0.00 -97.60

FILE 'CAPLUS' ENTERED AT 12:05:33 ON 17 APR 2008
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FILE COVERS 1907 - 17 Apr 2008 VOL 148 ISS 16
FILE LAST UPDATED: 16 Apr 2008 (20080416/ED)

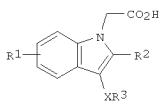
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17/04/2008, 10521325.trn

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=> s 18
L9           11 L8
=> d ed abs ibib hitstr tot
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L9 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 ED Entered STN: 07 Dec 2007
 GI



AB Title compds. [I; X = O, SON; R1 = H, halo, cyano, NO2, SONR4, OH, OR4, NR5R6, aryl, heteroaryl, etc.; R2 = H, halo, cyano, SO2R4, CONR5R6, (substituted) alkyl, cycloalkyl, etc.; R3 = (substituted) aryl, heteroaryl, R4 = (substituted) aryl, heteroaryl, heterocyclic, alkyl; R5, R6 = H, aryl, heteroaryl, (substituted) alkyl, cycloalkyl; n = 0-2; with provisos], were prepared. Thus, [5-fluoro-2-methylindol-1-yl]acetic acid, biphenyl-4-thiol, and iodine were stirred in DMF overnight to give [3-(biphenyl-4-ylsulfanyl)-5-fluoro-2-methylindol-1-yl]acetic acid. The latter had a pIC50 of 7.7 in a ligand binding assay using HEK cell membranes containing cRTH2 receptors.

ACCESSION NUMBER: 20071394921 CAPLUS

DOCUMENT NUMBER: 148:33621

TITLE: Preparation of indolylacetates for treatment of diseases modulated by prostaglandin D2.

INVENTOR(S): Bonnert, Roger Victor; Luke, Timothy Jon; Cumming, John

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 29pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138282	A2	20071206	WO 2007-GB1951	20070525
WO 2007138282	A3	20080228		

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 RW: AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

L9 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 PRIORITY APPLN. INFO.: US 2006-803275P P 20060526

OTHER SOURCE(S): MARPAT 148:33621

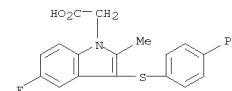
IT 959619-15-9P, [3-(Biphenyl-4-ylsulfanyl)-5-fluoro-2-methylindol-1-yl]acetic acid 959619-16-0P 959619-17-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of indolylacetates for treatment of diseases modulated by prostaglandin D2)

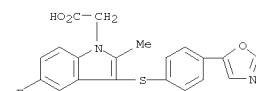
RN 959619-15-9 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(1,1'-biphenyl)-4-ylthio)-5-fluoro-2-methyl- (CA INDEX NAME)



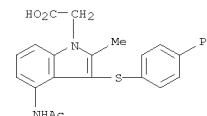
RN 959619-16-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-fluoro-2-methyl-3-[(4-(5-oxazolyl)phenyl)thio]- (CA INDEX NAME)

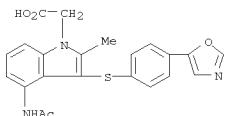


RN 959619-17-1 CAPLUS

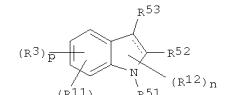
CN 1H-Indole-1-acetic acid, 4-(acetylamo)-3-[(1,1'-biphenyl)-4-ylthio)-2-methyl- (CA INDEX NAME)



L9 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 959619-18-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-(acetylamo)-2-methyl-3-[(4-(5-oxazolyl)phenyl)thio]- (CA INDEX NAME)



L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ED Entered STN: 31 Aug 2006
 GI



AB Indole compds. represented by the general formula (I) or salts or solvates thereof or prodrugs thereof [R11, R12 = substituents; two of R51, R52, and R53 are independently groups having a (un)protected acidic group and the remaining one group is H or a substituent; R3 = a substituent; m = an integer of 0-4; n = an integer of 0-2; p = 0, 1] are prepared. These compds.

have a leukotriene receptor antagonistic effect and are expected to be more effective than those of the leukotriene receptor antagonists currently used in clin. medicine. They are therefore useful as agents for prevention and/or treatment of a leukotriene-mediated disease such as a respiratory disease, e.g., bronchial asthma, chronic obstructive pulmonary disease, pulmonary emphysema, chronic bronchitis, pneumonia (e.g., interstitial pneumonia), severe acute respiratory syndrome (SARS), acute respiratory distress syndrome (ARDS), allergic rhinitis, sinusitis (e.g., acute sinusitis, chronic sinusitis), and pulmonary fibrosis, and as expectorants or antitussives. Thus, Me 4-bromo-1-(4-methoxy-4-oxobutyl)-1H-indole-3-carboxylate was coupled with 4-vinylphenyl acetate in the presence of palladium acetate and tris(2-methylphenyl)phosphine in a solution of Et3N in MeCN at 85° for 2 h to give Me 4-[(E)-2-[4-(acetoxyphenyl)ethenyl]-1-(4-methoxy-4-oxobutyl)-1H-indole-3-carboxylate. The latter compound was deacetylated by treatment with K2CO3

in a mixture of methanol and THF at room temperature for 2 h and etherified with 1-chloro-4-phenylbutane in the presence of NaI and K2CO3 in DMF at 95° for 2 h to give Me 1-(4-methoxy-4-oxobutyl)-4-[(E)-2-[4-(phenylbutoxy)phenyl]ethenyl]-1H-indole-3-carboxylate which was stirred with a mixture of 1 M aqueous NaOH solution, THF, and MeOH and acidified with 1 M aqueous HCl solution to give 1-(3-carboxypropyl)-4-[(E)-2-[4-(phenylbutoxy)phenyl]ethenyl]-1H-indole-3-carboxylic acid.

4-(1-(Carboxymethyl)-7-[(E)-2-[4-(4-phenoxybutoxy)phenyl]ethenyl]-1H-indol-3-yl)butanoic acid at 10 mg/kg p.o. in vivo inhibited the ovalbumin-induced constriction of airway in guinea pigs. A tablet and an amulette formulation containing 4-[3-(carboxymethyl)-4-[(E)-2-[4-(phenylbutoxy)phenyl]ethenyl]-1H-indol-1-yl]butanoic acid were described. ACCESSION NUMBER: 2006-886288 CAPLUS
 DOCUMENT NUMBER: 145:292868

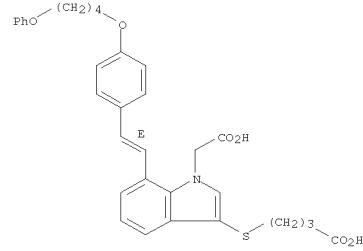
L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 TITLE: Preparation of indole derivatives as leukotriene receptor antagonists
 INVENTOR(S): Takeuchi, Jun; Nakayama, Yoshizuke; Fujita, Manabu
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 353pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006090817	A1	20060831	WO 2006-JP303374	20060224
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AU 2006216170	A1	20060831	AU 2006-216170	20060224
CA 2599348	A1	20060831	CA 2006-2599348	20060224
EP 1852420	A1	20071107	EP 2006-714513	20060224
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MK 2007101112	A	20071112	MK 2007-101112	20070820
CN 101128424	A	20080220	CN 2008-80005791	20070822
KR 20071114140	A	20071129	KR 2007-719358	20070824
IN 2007KNO3316	A	20080118	IN 2007-KN3316	20070907
NO 2007004670	A	20071119	NO 2007-4670	20070913
PRIORITY APFLN. INFO.:		JP 2005-51392	A	20050225
		JP 2005-352787	A	20051207
		WO 2006-JP303374	W	20060224
		WO 2006-JP3374	W	20060224

OTHER SOURCE(S): MARPAT 145:292868
 IT 908134-84-9P 908134-85-0P 908134-86-1P
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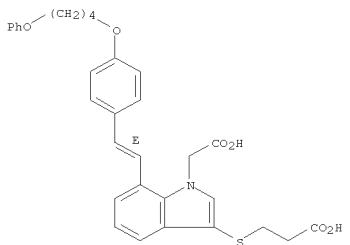
L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 TITLE: Preparation of indole derivatives as leukotriene receptor antagonists
 INVENTOR(S): Takeuchi, Jun; Nakayama, Yoshizuke; Fujita, Manabu
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 353pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

Double bond geometry as shown.



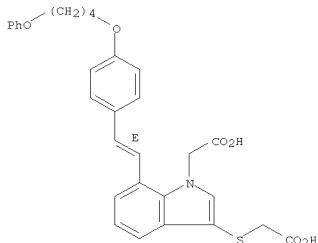
RN 908134-84-9 CAPLUS
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Double bond geometry as shown.



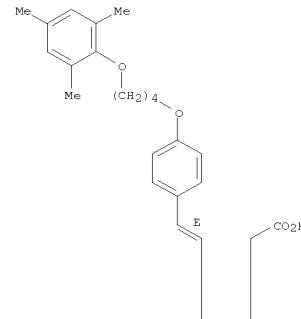
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Double bond geometry as shown.



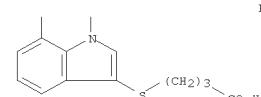
RN 908134-87-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-carboxypropyl)thio]-7-[(1E)-2-[4-(4-phenoxybutoxy)phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 908134-88-3 CAPLUS
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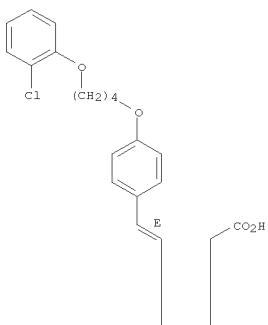
Double bond geometry as shown.



L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

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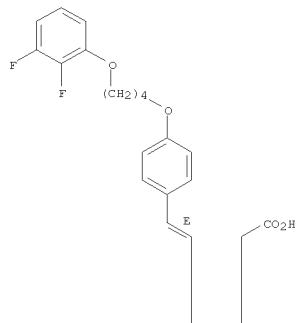
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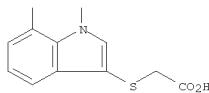
L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

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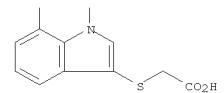
PAGE 1-A



PAGE 2-A



PAGE 2-A



RN 908134-89-4 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(carboxymethyl)thio]-7-[(1E)-2-[4-[4-(2,3-difluorophenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 908134-90-7 CAPLUS

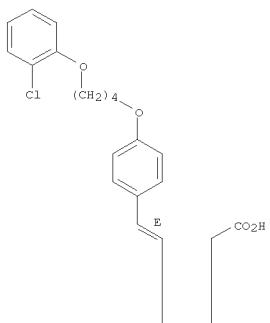
CN 1H-Indole-1-acetic acid, 3-[(2-carboxyethyl)thio]-7-[(1E)-2-[4-[4-(2-chlorophenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

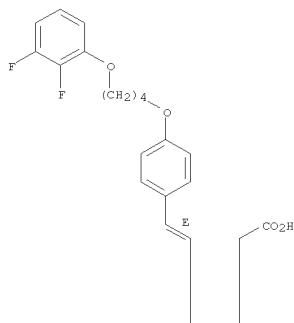
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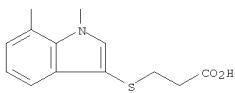
L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

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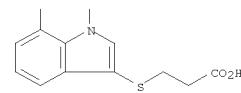
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PAGE 2-A



PAGE 2-A



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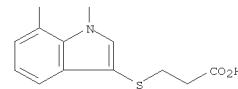
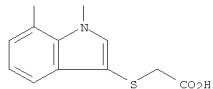
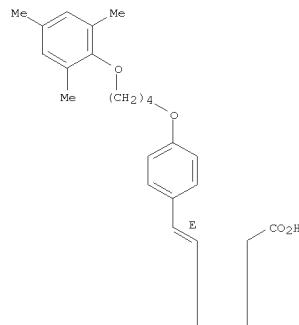
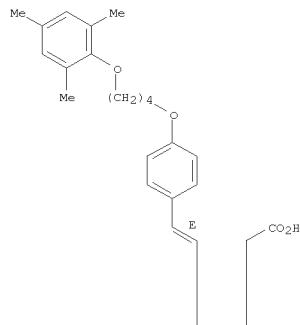
CN 1H-Indole-1-acetic acid, 3-[(2-carboxyethyl)thio]-7-[(1E)-2-[4-[4-(2,3-difluorophenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 908134-92-9 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(carboxymethyl)thio]-7-[(1E)-2-[4-[4-(2,4,6-trimethylphenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 908134-93-0 CAPLUS

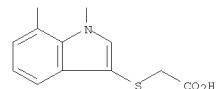
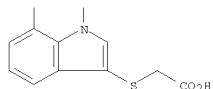
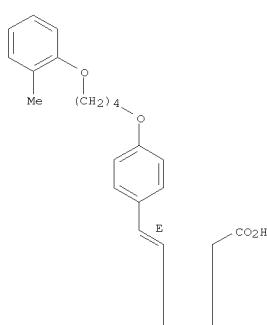
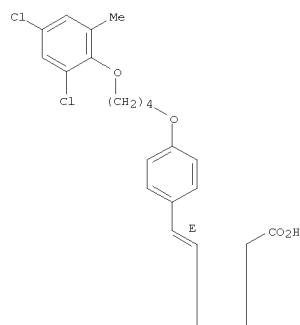
CN 1H-Indole-1-acetic acid, 3-[(2-carboxyethyl)thio]-7-[(1E)-2-[4-[4-(2,4,6-trimethylphenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 908134-94-1 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(carboxymethyl)thio]-7-[(1E)-2-[4-[4-(2,4-dichloro-6-methylphenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 908134-95-2 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(carboxymethyl)thio]-7-[(1E)-2-[4-[4-(2-methylphenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

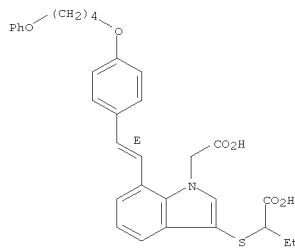
RN 908134-96-3 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(carboxymethyl)thio]-7-[(1E)-2-[4-[4-(2-fluorophenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

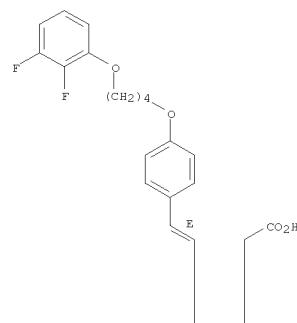
L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



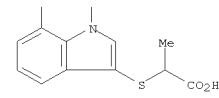
RN 908135-02-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(1-carboxyethyl)thio]-7-[(1E)-2-[4-(2,3-difluorophenoxy)butoxy]phenyl]ethenyl- (CA INDEX NAME)

Double bond geometry as shown.



PAGE 1-A

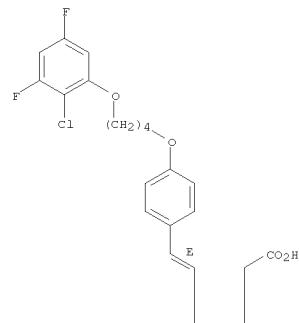
PAGE 2-A



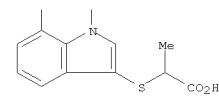
RN 908135-03-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(1-carboxyethyl)thio]-7-[(1E)-2-[4-(2,3-difluorophenoxy)butoxy]phenyl]ethenyl- (CA INDEX NAME)

Double bond geometry as shown.

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PAGE 2-A

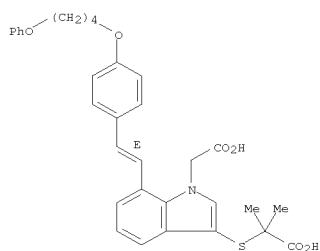


L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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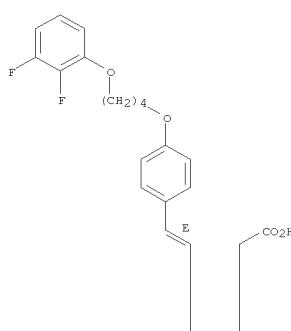
CN 1H-Indole-1-acetic acid,
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Double bond geometry as shown.



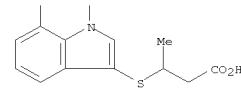
RN 908135-05-7 CAPLUS
 CN 1H-Indole-1-acetic acid,
 3-[(2-carboxy-1-methylethyl)thio]-7-[(1E)-2-[4-(2,3-difluorophenoxy)butoxy]phenyl]ethenyl- (CA INDEX NAME)

Double bond geometry as shown.



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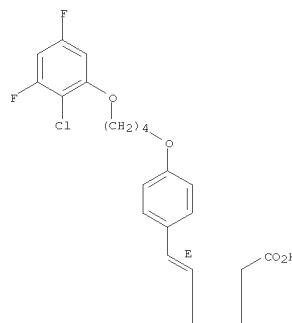
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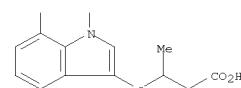
RN 908135-06-8 CAPLUS
 CN 1H-Indole-1-acetic acid,
 3-[(2-carboxy-1-methylethyl)thio]-7-[(1E)-2-[4-(2-chloro-3,5-difluorophenoxy)butoxy]phenyl]ethenyl- (CA INDEX NAME)

Double bond geometry as shown.

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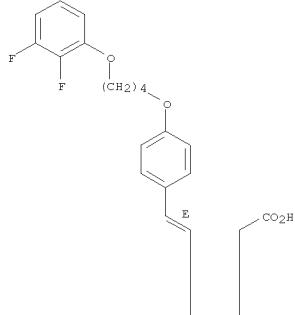
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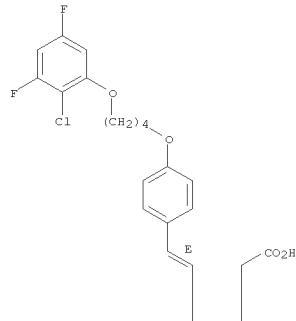
L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 908135-07-9 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(2-carboxypropyl)thio]-7-[(1E)-2-[4-[4-(2,3-difluorophenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

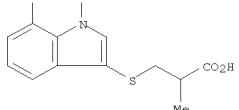


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L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
PAGE 1-A



PAGE 2-A



PAGE 2-A

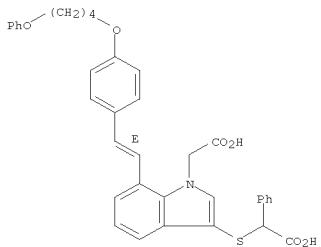
RN 908135-09-1 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(carboxyphenylmethyl)thio]-7-[(1E)-2-[4-(4-phenoxybutoxy)phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

RN 908135-08-0 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(2-carboxypropyl)thio]-7-[(1E)-2-[4-(2-chloro-3,5-difluorophenoxy)butoxy]phenyl]ethenyl]- (CA INDEX NAME)

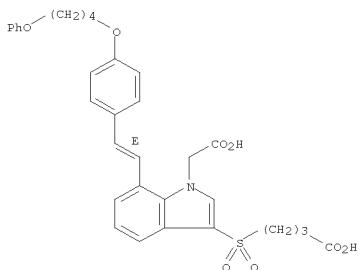
Double bond geometry as shown.

L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



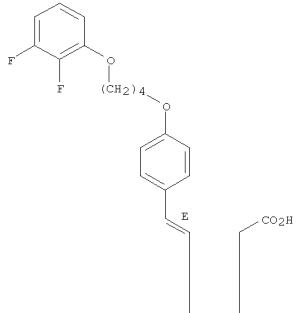
RN 908137-43-9 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(3-carboxypropyl)sulfonyl]-7-[(1E)-2-[4-(4-phenoxybutoxy)phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

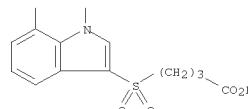


L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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REFERENCE COUNT:
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FORMAT

49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR
RECORD. ALL CITATIONS AVAILABLE IN THE RE

RN 908137-44-0 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(3-carboxypropyl)sulfonyl]-7-[(1E)-2-[4-(4-(2,3-difluorophenoxy)butoxy)phenyl]ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

L9 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ED Entered STN: 20 Jul 2006
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An indole derivative (I) is prepared by the base (e.g., sodium hydroxide) hydrolysis of esters (II); R = ester-forming group; e.g., R = Et) followed by neutralization of the reaction mixture with an acid in a ketone or ester solvent.

ACCESSION NUMBER: 2006:699977 CAPLUS

DOCUMENT NUMBER: 145:145540

TITLE: Base hydrolysis process for the preparation of indole derivatives

INVENTOR(S): Keegan, Philip; Merifield, Eric; Gill, Duncan

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006075139	A2	20060720	WO 2006-GB60	20060109
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AU 2006205697	A1	20060720	AU 2006-205697	20060109
CA 2594391	A1	20060720	CA 2006-2594391	20060109
EP 1844012	A2	20071017	EP 2006-700281	20060109
R: AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
CN 101102999	A	20080109	CH 2006-80002311	20060109
MX 200708348	A	20070803	MX 2007-8348	20070709
KR 2007104350	A	20071025	KR 2007-715946	20070712
US 20080051586	A1	20080028	US 2007-813816	20070712
IN 2007DN05518	A	20070817	IN 2007-DN5518	20070717
NO 2007004047	A	20070806	NO 2007-4047	20070806
PRIORITY APFLN. INFO.:		GB 2005-604	A 20050113	

PRIORITY APFLN. INFO.:

L9 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ED Entered STN: 08 Jun 2006
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to indoles and related compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPARs).

In compds. I, U, V, W, X, and Y are independently selected from N and (un)substituted C; R1 is selected from (un)substituted carboxyl and carboxylic acid isosteres; R2 is H, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted cycloalkyl, (un)substituted heterocycl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted arylsulfonyl, etc.; R3 and R4 are independently selected from H, (un)substituted lower alkyl, (un)substituted cycloalkyl, (un)substituted heterocycl, (un)substituted aryl, (un)substituted heteroaryl, etc., or R3 and R4 may combine to form a 3- to 7-membered (un)substituted cycloalkyl or 3- to 7-membered (un)substituted heterocycl; and n is 0, 1, or 2; provided that no more than two of U, V,

W, and Y are N. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment or prevention of a disease or condition for which PPAR modulation provides a therapeutic benefit. Arylsulfanylation of 6-methoxyindole with 4-tolylthiol and oxidation gave sulfonylindole II, which underwent alkylation with Me 3-bromopropionate and ester hydrolysis to give indolepropanoic acid III. Some compds. of the invention express

EC50 values below 1 nM for at least one PPAR subtype and some compds. exhibit at least 5-fold selectivity for one subtype over other subtypes of receptors (no specific data).

ACCESSION NUMBER: 2006:538077 CAPLUS

DOCUMENT NUMBER: 145:45938

TITLE: Indoles and related derivatives as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Lin, Jack; Ibrahim, Prabha N.; Artis, Dean R.; Zhang, Chao; Wang, Weiru; Shi, Shenghua

PATENT ASSIGNEE(S): Plixikon, Inc., USA

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006060535	A2	20060608	WO 2005-US43412	20051129
WO 2006060535	A3	20060914		
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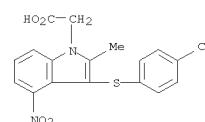
Young, Shawquia, Page 12

L9 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 ED Entered STN: WO 2006-GB60 W 20060109

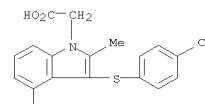
OTHER SOURCE(S): CASREACT 145:145540; MARPAT 145:145540

IT 628737-11-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (base hydrolysis process for the preparation of indole derivs.)

RN 628737-11-1 CAPLUS CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-2-methyl-4-nitro- (CA INDEX NAME)



IT 802904-66-1P RL: SPN (Synthetic preparation); PREP (Preparation)
 (base hydrolysis process for the preparation of indole derivs.)
 RN 802904-66-1 CAPLUS CN 1H-Indole-1-acetic acid, 4-(acetylamino)-3-[(4-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)



L9 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LG, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NP, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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AU 2005311826 A1 20060608 AU 2005-311826 20051129 CA 2589896 A1 20060608 CA 2005-2589896 20051129 US 20060135540 A1 20060622 US 2005-289781 20051129

EP 1833787 A2 20070919 EP 2005-852598 20051129 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APFLN. INFO.: US 2004-631893P P 20041130

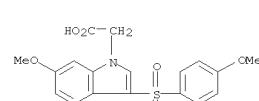
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WO 2005-US43412 W 20051129

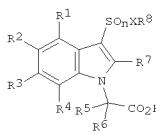
OTHER SOURCE(S): CASREACT 145:45938; MARPAT 145:45938
 IT 889129-65-1P 2-(6-Methoxy-3-((4-methoxybenzene)sulfonyl)indol-1-

yl)acetic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of indoles and related compds. as PPAR modulators)

RN 889129-65-1 CAPLUS CN 1H-Indole-1-acetic acid, 6-methoxy-3-[(4-methoxyphenyl)sulfonyl]- (CA INDEX NAME)



L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ED Entered STN: 09 May 2005
 GI



AB Title compds. [I]; R1-R4 = H, halo, alkyl, alkoxy, OH, NO2, cyano, N(R2)2, S(=O)(=O)NR3, CON(R2)2, etc.; R9 = H, alkyl; R5R6C = C3-7 cycloalkyl; R7 = H, alkyl; n = 1, 2; X = bond, NR9; R8 = (substituted) alkyl, alkenyl, alkynyl, biphenyl, (bi- or tricyclic) heterocycle, Ph, naphthyl; with priorios, were prepared for the treatment of allergic diseases such as asthma, allergic rhinitis and atopic dermatitis. Thus, (5-fluoro-2-methyl-3-methanesulfonyl-1H-indol-1-yl)acetic acid (general preparation given) showed CRTH2 binding with Ki = 6 nM.

ACCESSION NUMBER: 2005:395269 CAPLUS

DOCUMENT NUMBER: 142:463597

TITLE: Preparation of indol-1-ylacetates as chemoattractant receptor-homologous molecule expressed on TH2 cells (CRTH2) antagonists

INVENTOR(S): Middlemos, David; Ashton, Mark Richard; Boyd, Edward Andrew; Brookfield, Frederick Arthur; Armer, Richard Edward

PATENT ASSIGNEE(S): Oxagen Limited, UK

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIKXD2

DOCUMENT TYPE: Patent

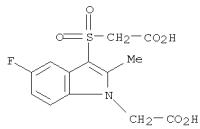
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FAMILY ACC. NUM. COUNT: 1

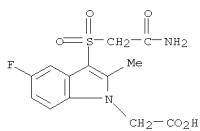
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WO 2005040114	A1	20050506	WO 2004-GB4336	20041013
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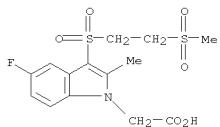
L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 851460-59-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2-amino-2-oxoethyl)sulfonyl]-5-fluoro-2-methyl- (CA INDEX NAME)



RN 851460-60-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-2-methyl-3-[(2-methylsulfonyl)ethyl]sulfonyl- (CA INDEX NAME)



RN 851460-61-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-(2-benzothiazolylsulfonyl)-5-fluoro-2-methyl- (CA INDEX NAME)

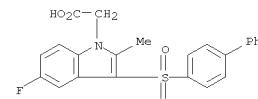
L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 ED Entered STN: 09 May 2005
 GI

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,	20041013
HR: CN 1867547 A 20061122	2004-80030071
BR 2004015437 A 20061205	BR 2004-15437
JP 2007508363 T 20070405	JP 2006-534817
IN 2006DN01509 A 20070810	IN 2006-DN1509
NO 2006001454 A 20060706	NO 2006-1454
MX 2006PA04136 A 20061208	MX 2006-PA4136
KR 2007032264 A 20070321	KR 2006-707318
US 20070232681 A1 20071004	US 2007-5373670
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	GB 2003-24084
	A 20031014

PRIORITY APPLN. INFO.: GB 2004-716 A 20040114
 WO 2004-GB4336 W 20041013

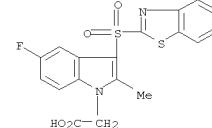
OTHER SOURCE(S): CASREACT 142:463597; MARPAT 142:463597

IT 851460-57-6 851460-58-7P 851460-59-8P	851460-60-1P 851460-61-2P 851460-62-3P
851460-63-4P 851460-64-5P 851460-65-6P	851460-66-7D 851460-67-8P 851460-68-9P
851460-69-0P 851460-70-3P 851460-71-4P	851460-72-5P 851460-73-6P 851460-74-7P
851460-75-8P 851460-76-9P 851460-77-0P	851460-78-1P 851460-79-2P 851460-80-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)	(claimed compound; preparation of indolylacetates as CRTH2 antagonists)
RN 851460-57-6 CAPLUS	
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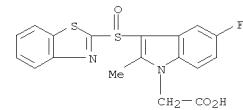


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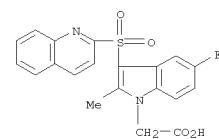
L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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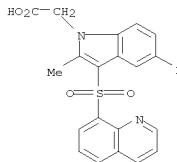
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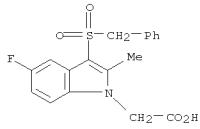
RN 851460-64-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-2-methyl-3-(8-quinolinylsulfonyl)- (CA INDEX NAME)

L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

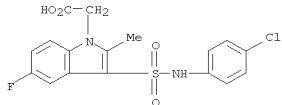
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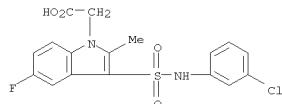


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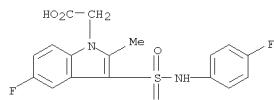


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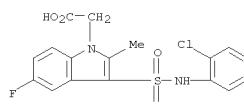
L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 851460-68-9 CAPLUS
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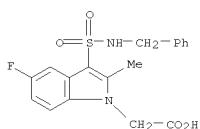


RN 851460-69-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2-chlorophenyl)amino]sulfonyl]-5-fluoro-2-methyl- (CA INDEX NAME)

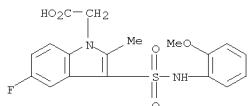


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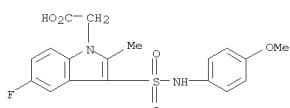
L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



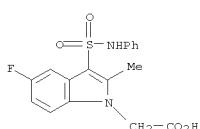
RN 851460-71-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-3-[(2-methoxyphenyl)amino]sulfonyl]-2-methyl- (CA INDEX NAME)



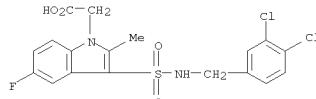
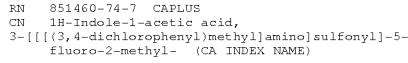
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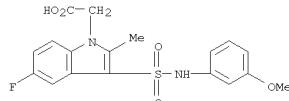
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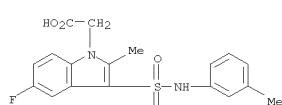
L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 851460-75-0 CAPLUS
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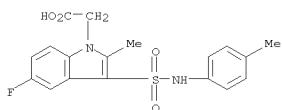
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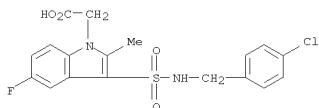
RN 851460-77-0 CAPLUS
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L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

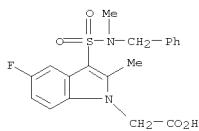
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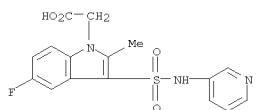
RN 851460-79-2 CAPLUS
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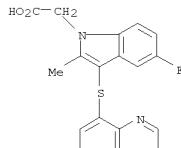
RN 851460-80-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-2-methyl-3-[(3-pyridinylamino)sulfonyl]- (CA INDEX NAME)

L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

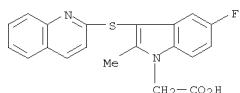
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IT 851460-86-1P 851460-87-2P 851460-88-3P
 851460-89-4P 851460-91-8P
 RL: RCT (Reagent); SPP (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of indolylacetates as CRTH2 antagonists)
 RN 851460-86-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-2-methyl-3-(8-quinolinylthio)- (CA INDEX NAME)



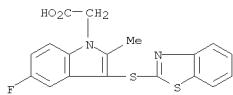
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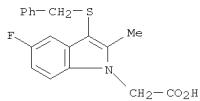
RN 851460-88-3 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-(2-benzothiazolylthio)-5-fluoro-2-methyl- (CA INDEX NAME)

L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

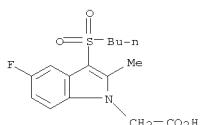
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RN 851460-89-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-2-methyl-3-[(phenylmethyl)thio]- (CA INDEX NAME)



RN 851460-91-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-(butylsulfonyl)-5-fluoro-2-methyl- (CA INDEX NAME)

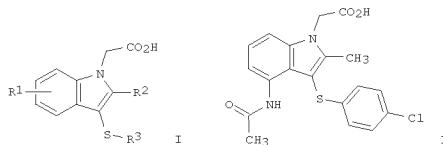


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ED Entered STN: 10 Dec 2004

GI



AB Title compds. I [wherein R1 = one or more independent sulfonylamo, acylamino, ureido or heteroaryl, etc.; R2 = H, halo, cyano, sulfonyl or alkyl; R3 = (hetero)aryl, or pharmaceutically acceptable salts or solvates thereof] were prepared as CRTH2 receptor ligands. For example, treatment of 3-nitroaniline with tert-Bu hypochlorite followed by cyclization with 1-[(4-chlorophenyl)thio]-2-propanone gave 3-[(4-chlorophenyl)thio]-2-methyl-4-nitro-1H-indole, which was further converted to phenylthio

indole II, via N-alkylation with Et bromoacetate, nitro group reduction, N-acylation with acetyl chloride and finally basic hydrolysis. Compds. I showed IC50 values of <10 μ M in the CRTH2 ligand binding assay. Therefore, I and pharmaceutical compns. thereof are useful in the treatment of diseases mediated by prostaglandin D2 and CRTH2, such as asthma and rhinitis.

ACCESSION NUMBER: 20041059323 CAPLUS
 DOCUMENT NUMBER: 142:23189
 TITLE: Preparation of 3-(hetero)arylthio indoleacetic acids as CRTH2 receptor ligands for the treatment of respiratory disorders
 INVENTOR(S): Bonnert, Roger; Rasul, Rukhsana
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
 SOURCE: PCT Int. Appl., 71 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004106302	A1	20041209	WO 2004-SE808	20040525

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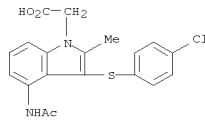
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
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 CA 2526866 A1 20041209 CA 2004-2526866 20040525
 EP 1656346 A1 20060517 EP 2004-734774 20040525
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 CN 1755174 A 20060628 CN 2004-80014602 20040525
 JP 2007501269 T 20070125 JP 2006-532212 20040525
 NZ 543722 A 20070531 NZ 2004-543722 20040525
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 MX 2005PA12680 A 20060208 MX 2005-PA12680 20051123
 NO 2005006130 A 20060227 NO 2005-6130 20051222
 PRIORITY APFLN. INFO.: SE 2003-1569 A 20030527
 SE 2003-2305 A 20030827
 WO 2004-SE808 W 20040525

OTHER SOURCE (S): MARPAT 142:23189
 IT 802904-66-1P 802904-7-2P 802904-69-4P
 802904-71-8P 802904-73-0P 802904-78-5P
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 (drug candidate; preparation of (hetero)arylthio indoleacetic acids

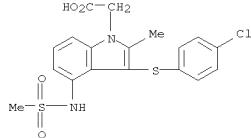
as CRTh2 receptor ligands)

RN 802904-66-1 CAPLUS
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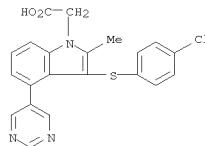
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 802904-67-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-2-methyl-4-((methylsulfonyl)amino)- (CA INDEX NAME)

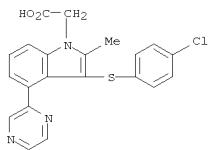


RN 802904-69-4 CAPLUS
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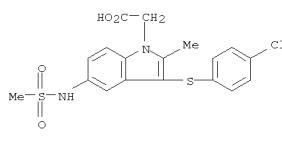
RN 802904-71-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-2-methyl-4-pyrazinyl-(9CI) (CA INDEX NAME)

L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

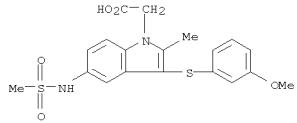


RN 802904-73-0 CAPLUS
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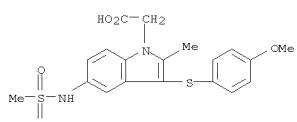
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 802904-82-1 CAPLUS
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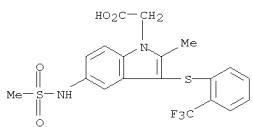
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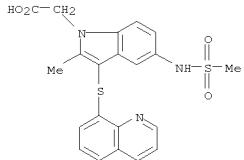
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L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

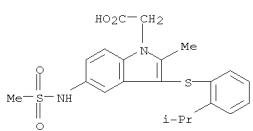
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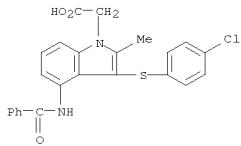


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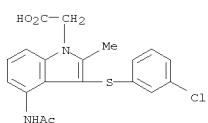


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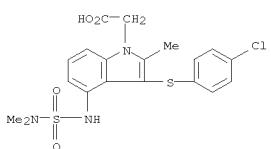
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 802905-00-6 CAPLUS
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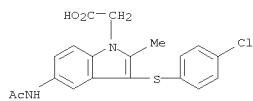


RN 802905-02-8 CAPLUS
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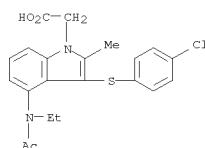


RN 802905-04-0 CAPLUS
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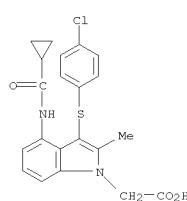
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 802904-95-6 CAPLUS
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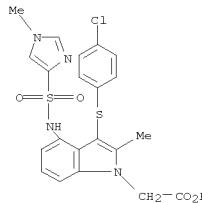


RN 802904-96-7 CAPLUS
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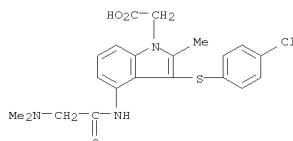


RN 802904-98-9 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-(benzoylthio)-3-[(4-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)

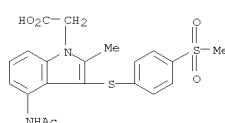
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 802905-06-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-4-[(dimethylamino)acetyl]amino]-2-methyl- (9CI) (CA INDEX NAME)



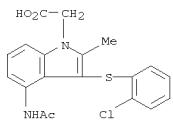
RN 802905-08-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-(acetylthio)-2-methyl-3-[(4-methylsulfonyl)phenyl]thio)- (CA INDEX NAME)



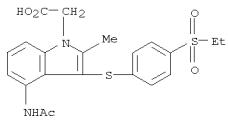
RN 802905-10-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-(acetylthio)-3-[(2-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)

L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

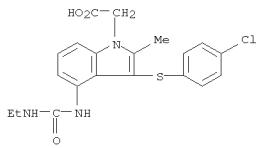
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RN 802905-11-9 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-(acetylaminoo)-3-[(4-(ethylsulfonyl)phenyl)thio]-2-methyl- (CA INDEX NAME)



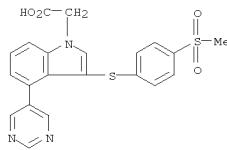
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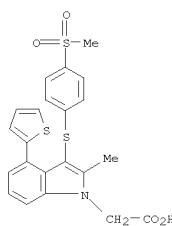
RN 802905-14-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-(methylsulfonyl)phenyl)thio]-4-(5-pyrimidinyl)- (CA INDEX NAME)

L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

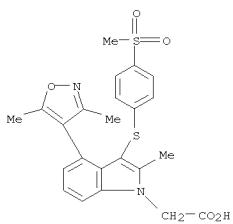


RN 802905-17-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-3-[(4-(methylsulfonyl)phenyl)thio]-4-(2-thienyl)- (CA INDEX NAME)

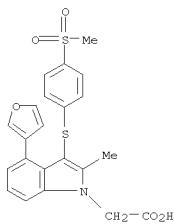


RN 802905-21-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-(3,5-dimethyl-4-isoxazolyl)-2-methyl-3-[(4-(methylsulfonyl)phenyl)thio]- (CA INDEX NAME)

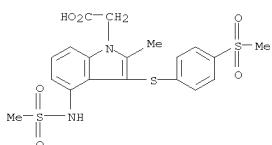
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



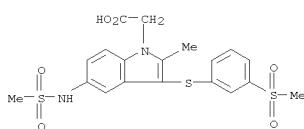
RN 802905-22-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-(3-furanyl)-2-methyl-3-[(4-(methylsulfonyl)phenyl)thio]- (CA INDEX NAME)



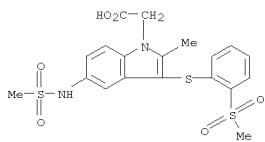
RN 802905-24-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-4-[(methylsulfonyl)amino]-3-[(4-(methylsulfonyl)phenyl)thio]- (CA INDEX NAME)



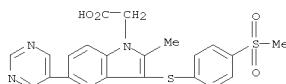
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 802905-27-7 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-5-[(methylsulfonyl)amino]-3-[(4-(methylsulfonyl)phenyl)thio]- (CA INDEX NAME)



RN 802905-31-3 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-5-[(methylsulfonyl)amino]-3-[(4-(methylsulfonyl)phenyl)thio]- (CA INDEX NAME)



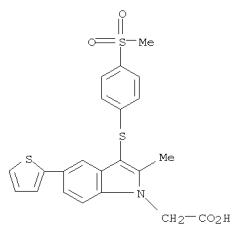
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 CN 1H-Indole-1-acetic acid, 2-methyl-3-[(4-(methylsulfonyl)phenyl)thio]-5-(5-pyrimidinyl)- (CA INDEX NAME)



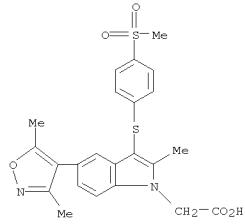
RN 802905-37-9 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-3-[(4-(methylsulfonyl)phenyl)thio]-5-(2-thienyl)- (CA INDEX NAME)

L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

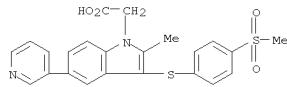


RN 802905-38-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-(3,5-dimethyl-4-isoxazolyl)-2-methyl-3-[(4-(methylsulfonyl)phenyl)thio]- (CA INDEX NAME)

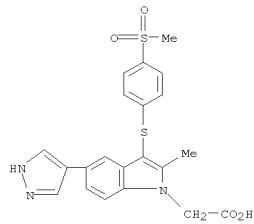


RN 802905-39-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-3-[(4-(methylsulfonyl)phenyl)thio]-5-(3-pyridinyl)- (CA INDEX NAME)

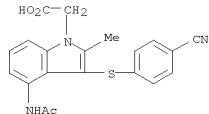
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 802905-41-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-3-[(4-(methylsulfonyl)phenyl)thio]-5-(1H-pyrazol-4-yl)- (CA INDEX NAME)

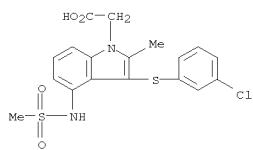


RN 802905-42-6 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-(acetamino)-3-[(4-cyanophenyl)thio]-2-methyl- (CA INDEX NAME)

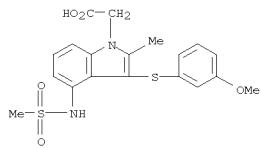


RN 802905-44-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-chlorophenyl)thio]-2-methyl-4-[(methylsulfonyl)amino]- (CA INDEX NAME)

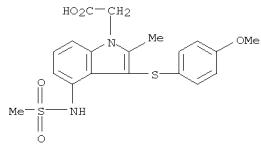
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 802905-45-9 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-methoxyphenyl)thio]-2-methyl-4-[(methylsulfonyl)amino]- (CA INDEX NAME)

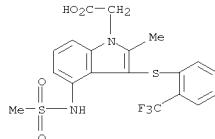


RN 802905-46-0 CAPLUS
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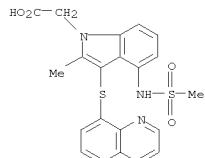


RN 802905-47-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-4-[(methylsulfonyl)amino]-3-[(2-(trifluoromethyl)phenyl)thio]- (CA INDEX NAME)

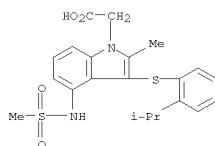
L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 802905-48-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-4-[(methylsulfonyl)amino]-3-(8-quinolinylthio)- (CA INDEX NAME)

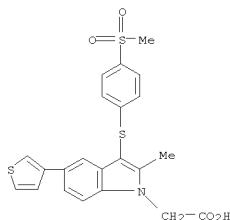


RN 802905-49-3 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-3-[(2-(1-methylethyl)phenyl)thio]-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



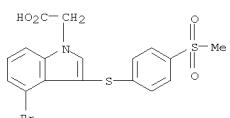
RN 802905-50-6 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-3-[(4-(methylsulfonyl)phenyl)thio]-5-(3-phenyl)- (CA INDEX NAME)

L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 802905-16-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (hetero)arylthio indoleacetic acids as CRTH2 receptor ligands)

RN 802905-16-4 CAPLUS
CN 1H-Indole-1-acetic acid, 4-bromo-3-[(4-(methylsulfonyl)phenyl)thio]- (CA INDEX NAME)



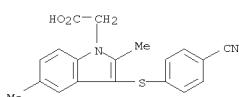
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
CN 1678579 A 20051005 CN 2003-819971 20030715
JP 200537265 T 20051208 JP 2004-521364 20030715
NZ 537606 A 20060831 NZ 2003-537606 20030715
ZA 2005000170 A 20060222 ZA 2005-170 20050107
MX 2005PA00646 A 20050602 MX 2005-PA646 20050114
NO 2005000928 A 20050405 NO 2005-828 20050216
US 20060111426 A1 20060525 US 2005-521325 20050815
PRIORITY APPLN. INFO.: SE 2002-2241 A 20020717
SE 2002-3713 A 20021213
WO 2003-SE1216 W 20030715

OTHER SOURCE(S): MARPAT 140:111276
IT 628736-69-6P, 3-[(4-Cyanophenyl)thio]-2,5-dimethyl-1H-indol-1-acetic acid ammonium salt 628736-75-4P, 3-[(4-Methoxyphenyl)thio]-2,5-dimethyl-1H-indol-1-acetic acid ammonium salt 628736-79-0P, 3-[(3-Chlorophenyl)thio]-2,5-dimethyl-1H-indol-1-acetic acid sodium salt 646514-88-7P, 3-[(3-Methoxyphenyl)thio]-2,5-dimethyl-1H-indol-1-acetic acid 646515-03-9P, 3-[(2-Methylphenyl)thio]-2,5-dimethyl-1H-indol-1-acetic acid ammonium salt 646515-07-3P, 3-[(2-Ethylphenyl)thio]-2,5-dimethyl-1H-indol-1-acetic acid ammonium salt 646515-48-2P, 3-[(4-Chlorophenyl)thio]-5-fluoro-2-methyl-1H-indole-1-acetic acid 646515-52-8P, 3-[(3-Chlorophenyl)thio]-5-fluoro-2-methyl-1H-indole-1-acetic acid 646515-56-2P, 5-Fluoro-2-methyl-3-[(4-(trifluoromethyl)phenyl)thio]-1H-indole-1-acetic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indole-3-sulfur derivs. as modulators of CRTH2 receptor activity useful as drugs for treatment of respiratory disorders)

RN 628736-69-6 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(4-cyanophenyl)thio]-2,5-dimethyl-, ammonium salt (9CI) (CA INDEX NAME)

● NH₃

RN 628736-75-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(4-methoxyphenyl)thio]-2,5-dimethyl-, ammonium salt (9CI) (CA INDEX NAME)

L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ED Entered STN: 26 Jan 2004
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

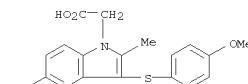
AB The invention relates to substituted indoles I [wherein: n = 1, 2; R₁ = halogen, CN, NO₂, SO₂R₄, OR₄, SR₄, S(O)R₄, (hetero)aryl, (un)substituted alk(en/yn)yl etc.; R₂ = H, halogen, CN, SO₂R₄, C(O)R₄, (un)substituted alkyl, etc.; R₃ = (hetero)aryl optionally containing N, S, or O, (un)substituted with halogen, CN, NO₂, SO₂R₄, OH, OR₄, SR₄, S(O)R₄, (un)substituted with halogen, (hetero)aryl, etc.; R₄ = (hetero)aryl or alkyl (un)substituted with halogen, (hetero)aryl, etc.] as modulators of CRTH2 receptor activity useful in treatment of diseases (such as respiratory disorders) which are caused by excessive or unregulated production of PGD2.

For instance, compound II (example 2, pIC₅₀ = 8.1) was prepared via heterocyclization of 4-ClC₆H₄NHH₂ with 4-ClC₆H₄SCH₂C(O)CH₃, transformation of obtained indole III to the Me N-acetate analog of III, subsequent S-oxidation and hydrolysis of ester.

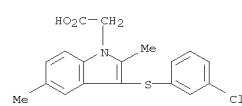
ACCESSION NUMBER: 2004:60465 CAPLUS
DOCUMENT NUMBER: 140:111276
TITLE: Preparation of indole-3-sulphur derivatives and their use in the treatment of respiratory disorders
INVENTOR(S): Bonnert, Roger; Dickinson, Mark; Rasul, Rukhsana; Sangane, Hitesh; Teague, Simon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007451	A1	20040122	WO 2003-SE1216	20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GN, ML, MR, NE, SN, TD, TG				
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BR 2003012729	A	20050510	BR 2003-12729	20030715
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L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

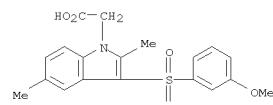
● NH₃

RN 628736-79-8 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(3-chlorophenyl)thio]-2,5-dimethyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 646514-88-7 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(3-methoxyphenyl)sulfonyl]-2,5-dimethyl- (CA INDEX NAME)



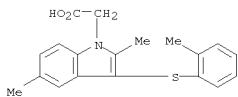
RN 646515-03-9 CAPLUS
CN 1H-Indole-1-acetic acid, 2,5-dimethyl-3-[(2-methylphenyl)thio]-, ammonium salt (9CI) (CA INDEX NAME)

RN 628736-75-4 CAPLUS
CN 1H-Indole-1-acetic acid, 3-[(4-methoxyphenyl)thio]-2,5-dimethyl-, ammonium salt (9CI) (CA INDEX NAME)

● NH₃

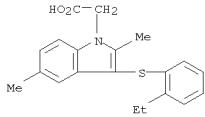
L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

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● NH₃

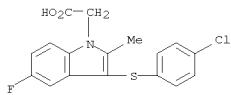
RN 646515-07-3 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(2-ethylphenyl)thio]-2,5-dimethyl-, ammonium salt (9CI) (CA INDEX NAME)

● NH₃

RN 646515-48-2 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-5-fluoro-2-methyl- (CA INDEX NAME)



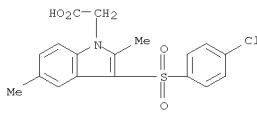
RN 646515-52-8 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-5-fluoro-2-methyl- (CA INDEX NAME)

L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 Chlorophenyl)sulfonyl)-2-methyl-4-phenyl-1H-indole-1-acetic acid
 646515-42-6P, 3-[(4-Chlorophenyl)sulfonyl]-5-fluoro-2-methyl-1H-
 indole-1-acetic acid ammonium salt 646515-50-6P,
 3-[(3-Chlorophenyl)sulfonyl]-5-fluoro-2-methyl-1H-indole-1-acetic
 acid ammonium salt 646515-54-0P, 5-Fluoro-2-methyl-3-[(4-
 (trifluoromethyl)phenyl)sulfonyl]-1H-indole-1-acetic acid ammonium salt
 646515-59-5P, 3-[(4-Chlorophenyl)sulfonyl]-5-fluoro-2-methyl-1H-
 indole-1-acetic acid 646515-61-9P, 3-[(3-Chlorophenyl)sulfonyl]-
 5-fluoro-2-methyl-1H-indole-1-acetic acid 646515-62-1P,
 5-Fluoro-2-methyl-3-[(4-(trifluoromethyl)phenyl)sulfonyl]-1H-indole-1-
 acetic acid 646518-01-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (prepn. of indole-3-sulfur derivs. as modulators of CRTh2 receptor
 activity useful as drugs for treatment of respiratory disorders)

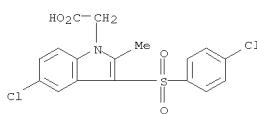
RN 646514-29-6 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)sulfonyl]-2,5-dimethyl- (CA INDEX NAME)



RN 646514-32-1 CAPLUS

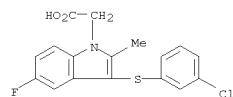
CN 1H-Indole-1-acetic acid, 5-chloro-3-[(4-chlorophenyl)sulfonyl]-2-methyl- (CA INDEX NAME)



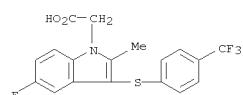
RN 646514-37-6 CAPLUS

CN 1H-Indole-1-acetic acid, 6-chloro-3-[(4-chlorophenyl)sulfonyl]-2-methyl- (CA INDEX NAME)

L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

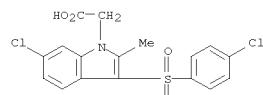


RN 646515-56-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-2-methyl-3-[(4-(trifluoromethyl)phenyl)thio]- (CA INDEX NAME)

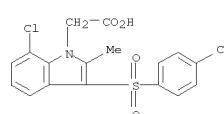


IT 646514-29-6P 646514-32-1P, 5-Chloro-3-[(4-chlorophenyl)sulfonyl]-2-methyl-1H-indole-1-acetic acid
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 acetic acid 646514-98-9P, 3-[(4-Cyanophenyl)sulfonyl]-2,5-dimethyl-1H-indol-1-
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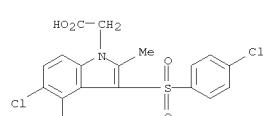
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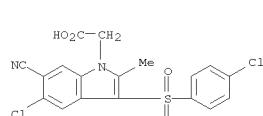
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 CN 1H-Indole-1-acetic acid, 7-chloro-3-[(4-chlorophenyl)sulfonyl]-2-methyl- (CA INDEX NAME)



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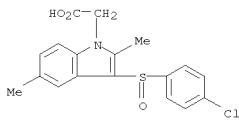
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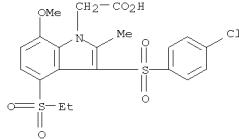
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L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
INDEX NAME)

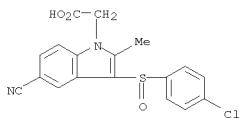
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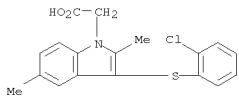


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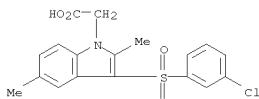
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CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)sulfonyl]-5-cyano-2-methyl- (CA INDEX NAME)

L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

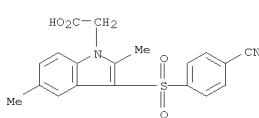


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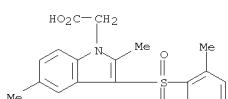
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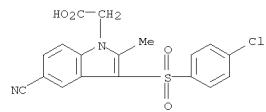
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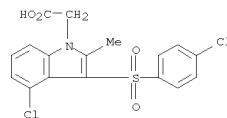
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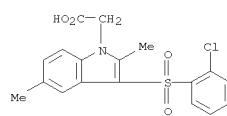
L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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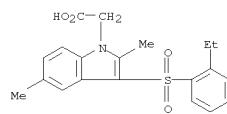
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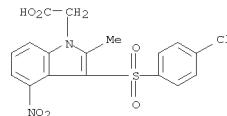
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CN 1H-Indole-1-acetic acid, 3-[(2-chlorophenyl)thio]-2,5-dimethyl-, sodium salt (9CI) (CA INDEX NAME)

L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

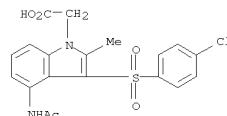
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CN 1H-Indole-1-acetic acid, 3-[(2-ethylphenyl)sulfonyl]-2,5-dimethyl- (CA INDEX NAME)



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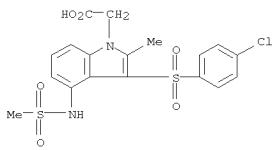
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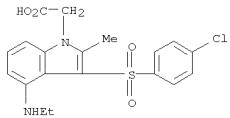
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L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

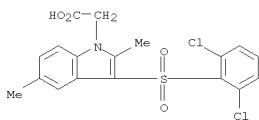
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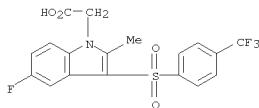
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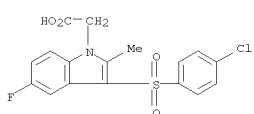
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L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

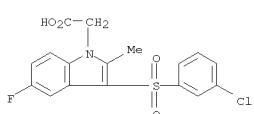
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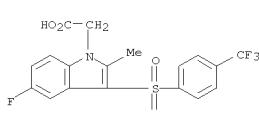
RN 646515-59-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)sulfonyl]-5-fluoro-2-methyl- (CA INDEX NAME)



RN 646515-61-9 CAPLUS
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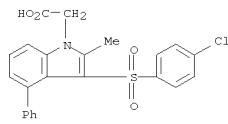


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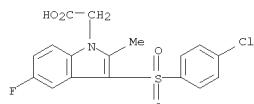


L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

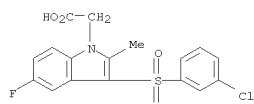
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RN 646515-42-6 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)sulfonyl]-5-fluoro-2-methyl-, ammonium salt (9CI) (CA INDEX NAME)

● NH₃

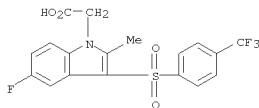
RN 646515-50-6 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-chlorophenyl)sulfonyl]-5-fluoro-2-methyl-, ammonium salt (9CI) (CA INDEX NAME)

● NH₃

RN 646515-54-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-2-methyl-3-[(4-(trifluoromethyl)phenyl)sulfonyl]-, ammonium salt (9CI) (CA INDEX NAME)

L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

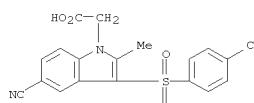
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L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

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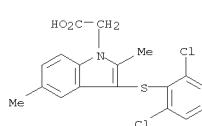
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● Na

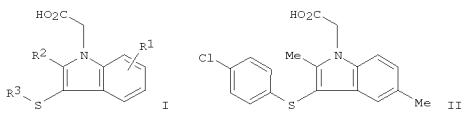
IT 628736-81-2, 3-[(2,6-Dichlorophenyl)thio]-2,5-dimethyl-1H-indole-1-acetic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of indole-3-sulfur derivs. as modulators of

CRTH2 receptor activity useful as drugs for treatment of respiratory disorders)
 RN 628736-81-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2,6-dichlorophenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ED Entered STN: 14 Dec 2003
 GI



AB Title compds. I [R1 = H, halo, CN, NO2, sulfonyl, OH, alkoxy, etc.]; R2 = H, halo, CN, sulfonyl, carboxamido, CH2OH, etc.; R3 = (un)substituted (hetero)aryl] are prepared. For instance, 3-[(4-chlorophenyl)thio]-2,5-dimethyl-1H-indole is alkylated with Et bromoacetate (DMF, NaH) and the product saponified (EtOH/H2O, NaOH) to give II. Example compds. have

IC50 <

10 nM for the rhCRTH2 receptor. I are useful in the treatment of respiratory disorders.

ACCESSION NUMBER: 2003972054 CAPLUS

DOCUMENT NUMBER: 140:16643

TITLE: Preparation of indolylacetic acid derivs to treat

diseases mediated by prostaglandin D2

INVENTOR(S): Bonner, Roger; Brough, Stephen; Cook, Tony; Dickinson, Mark; Rasul, Rukhsana; Sangane, Hitesh; Teague, Simon

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 61 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101961	A1	20031211	WO 2003-SE856	20030527
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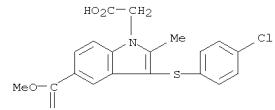
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
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L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003011494 A 20050329 BR 2003-11494 20030527
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 NZ 536883 A 20060831 NZ 2003-536883 20030527
 RU 2289914 C2 20061210 RU 2004-135064 20030527
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 WO 2003-SE856 W 20030527

OTHER SOURCE(S): MARPAT 140:16643
 IT 628737-06-4P, [3-[(4-Chlorophenyl)thio]-5-(methoxycarbonyl)-2-methyl-1H-indole-1-yl]acetic acid
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (CRTH2 receptor ligands; preparation of indolylacetic acid derivs. to treat diseases mediated by prostaglandin D2)

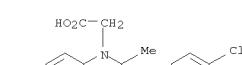
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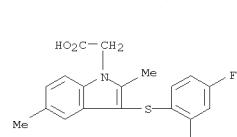
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L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 628737-04-2P, [3-[(4-Chlorophenyl)thio]-2,5-dimethyl-1H-indole-1-yl]acetic acid 628737-05-3P, [3-[(2-Chloro-4-fluorophenyl)thio]-2,5-dimethyl-1H-indole-1-yl]acetic acid 628737-10-0P, [5-Carboxy-3-[(4-chlorophenyl)thio]-2,5-dimethyl-1H-indole-1-yl]acetic acid 628737-11-1P, [3-[(4-Chlorophenyl)thio]-2-methyl-1H-indole-1-yl]acetic acid 628737-14-4P, [4-Amino-3-[(4-chlorophenyl)thio]-2-methyl-1H-indole-1-yl]acetic acid 628737-17-7P, [3-[(4-Chlorophenyl)thio]-2-methyl-1H-indole-1-yl]acetic acid 628737-18-8P, [3-[(4-Chlorophenyl)thio]-4-iodo-2-methyl-1H-indole-1-yl]acetic acid 628737-20-2P, [3-[(4-Chlorophenyl)thio]-2-methyl-4-phenyl-1H-indole-1-yl]acetic acid 628737-22-4P, [3-[(4-Cyanophenyl)thio]-2,5-dimethyl-1H-indole-1-yl]acetic acid 628737-23-5P, [3-[(4-Methoxyphenyl)thio]-2,5-dimethyl-1H-indole-1-yl]acetic acid 628737-24-6P, [3-[(3-Ethylphenyl)thio]-2,5-dimethyl-1H-indole-1-yl]acetic acid 628737-25-7P, [3-[(3-Chlorophenyl)thio]-2,5-dimethyl-1H-indole-1-yl]acetic acid 628737-26-8P, [3-[(2-Fluorophenyl)thio]-2,5-dimethyl-1H-indole-1-yl]acetic acid 628737-27-9P, [3-[(1H-Imidazol-2-ylthio)-2,5-dimethyl-1H-indole-1-yl]acetic acid 628737-28-0P, [2,5-Dimethyl-3-(quinolinylthio)-1H-indole-1-yl]acetic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (CRTH2 receptor ligands; prepn. of indolylacetic acid derivs. to treat diseases mediated by prostaglandin D2)

RN 628735-86-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-2,5-dimethyl- (CA INDEX NAME)

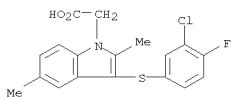


RN 628735-97-7 CAPLUS
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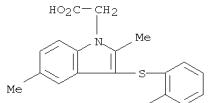


RN 628735-98-8 CAPLUS

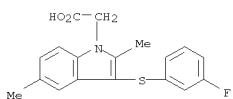
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 CN 1H-Indole-1-acetic acid, 3-[(3-chloro-4-fluorophenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



RN 628735-99-9 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2-methoxyphenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



RN 628736-00-5 CAPLUS
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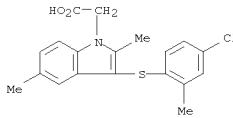


RN 628736-01-6 CAPLUS
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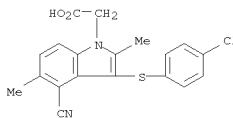


L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

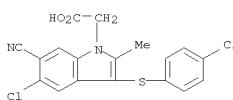
RN 628736-06-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chloro-2-methylphenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



RN 628736-07-2 CAPLUS
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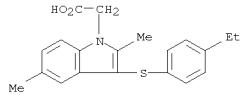


RN 628736-11-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-chloro-3-[(4-chlorophenyl)thio]-6-cyano-2-methyl- (CA INDEX NAME)

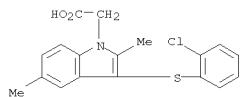


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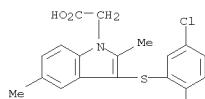
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



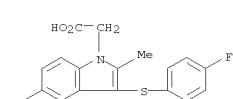
RN 628736-02-7 CAPLUS
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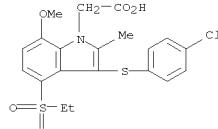
RN 628736-03-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2,5-dichlorophenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



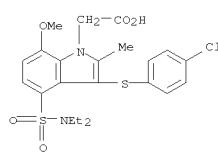
RN 628736-04-9 CAPLUS
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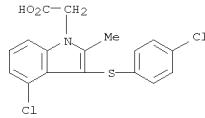
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



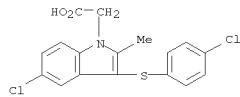
RN 628736-15-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-4-[(diethylamino)sulfonyl]-7-methoxy-2-methyl- (CA INDEX NAME)



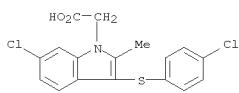
RN 628736-18-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-chloro-3-[(4-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)



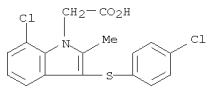
RN 628736-21-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-chloro-3-[(4-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)



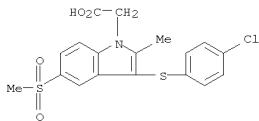
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 628736-24-3 CAPLUS
 CN 1H-Indole-1-acetic acid, 6-chloro-3-[(4-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)



RN 628736-27-6 CAPLUS
 CN 1H-Indole-1-acetic acid, 7-chloro-3-[(4-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)



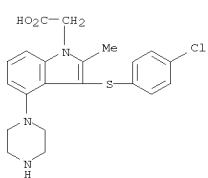
RN 628736-30-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-2-methyl-5-(methylsulfonyl)- (CA INDEX NAME)



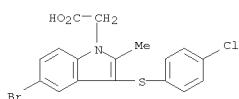
RN 628736-33-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 2,5-dimethyl-3-[(4-(methylsulfonyl)phenyl)thio]- (CA INDEX NAME)

L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 628736-47-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-2-methyl-4-(1-piperazinyl)- (CA INDEX NAME)

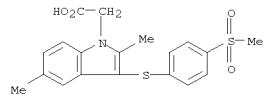


RN 628736-50-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-bromo-3-[(4-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)

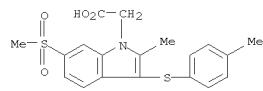


RN 628736-58-3 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-bromo-3-[(4-chlorophenyl)thio]-2-methyl-, sodium salt (9CI) (CA INDEX NAME)

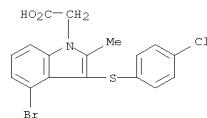
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 628736-36-7 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-3-[(4-methylphenyl)thio]-6-(methylsulfonyl)- (CA INDEX NAME)

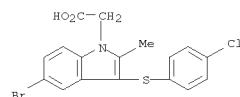


RN 628736-39-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-bromo-3-[(4-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)



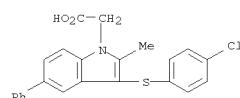
RN 628736-45-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-4-[(4-[(1,1-dimethylethoxy)carbonyl]-1-piperazinyl)-2-methyl- (CA INDEX NAME)

L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

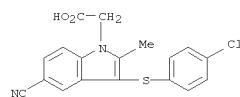


● Na

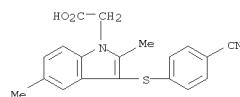
RN 628736-60-7 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-2-methyl-5-phenyl- (CA INDEX NAME)



RN 628736-64-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-5-cyano-2-methyl- (CA INDEX NAME)

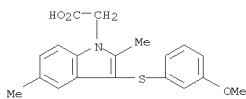


RN 628736-69-6 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-cyanophenyl)thio]-2,5-dimethyl-, ammonium salt (9CI) (CA INDEX NAME)

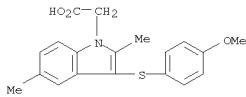


● NH₃

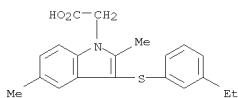
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 628736-73-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-methoxyphenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



RN 628736-75-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-methoxyphenyl)thio]-2,5-dimethyl-, ammonium salt (9CI) (CA INDEX NAME)

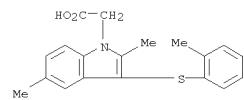
● NH₃

RN 628736-77-6 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-ethylphenyl)thio]-2,5-dimethyl-, ammonium salt (9CI) (CA INDEX NAME)

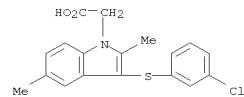
● NH₃

RN 628736-78-7 CAPLUS
 CN 1H-Indole-1-acetic acid, 2,5-dimethyl-3-[(2-methylphenyl)thio]- (CA INDEX)

L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 NAME)

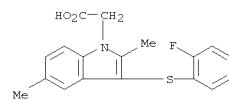


RN 628736-79-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-chlorophenyl)thio]-2,5-dimethyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

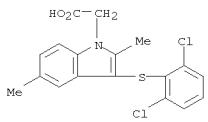
RN 628736-80-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2-fluorophenyl)thio]-2,5-dimethyl-, sodium salt (9CI) (CA INDEX NAME)



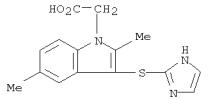
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RN 628736-81-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2,6-dichlorophenyl)thio]-2,5-dimethyl- (CA INDEX NAME)

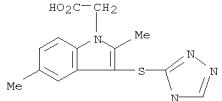
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 628736-82-3 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(1H-imidazol-2-ylthio)-2,5-dimethyl-, monoammonium salt (9CI) (CA INDEX NAME)

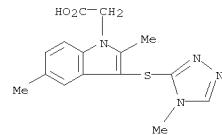
● NH₃

RN 628736-83-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 2,5-dimethyl-3-[(1H-1,2,4-triazol-3-ylthio)- (9CI) (CA INDEX NAME)

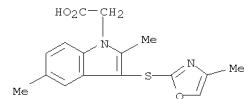


RN 628736-84-5 CAPLUS
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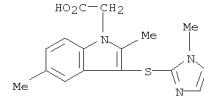
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 628736-85-6 CAPLUS
 CN 1H-Indole-1-acetic acid, 2,5-dimethyl-3-[(4-methyl-2-oxazolyl)thio]- (CA INDEX NAME)

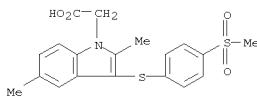


RN 628736-86-7 CAPLUS
 CN 1H-Indole-1-acetic acid, 2,5-dimethyl-3-[(1-methyl-1H-imidazol-2-yl)thio]- (CA INDEX NAME)

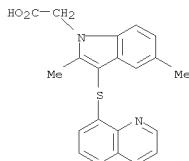


RN 628736-87-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 2,5-dimethyl-3-[(4-(methylsulfonyl)phenyl)thio]-, ammonium salt (9CI) (CA INDEX NAME)

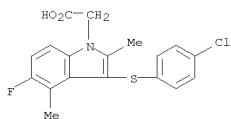
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

● NH₃

RN 628736-88-9 CAPLUS
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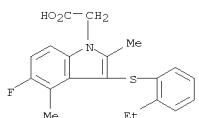
● 1/2 NH₃

RN 628736-89-0 CAPLUS
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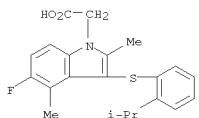


RN 628736-95-8 CAPLUS

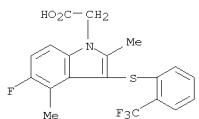
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



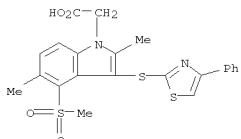
RN 628736-99-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-2,4-dimethyl-3-[(2-(1-methylethyl)phenyl)thio]- (CA INDEX NAME)



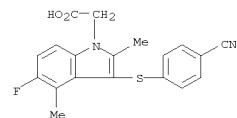
RN 628737-00-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-2,4-dimethyl-3-[(2-(trifluoromethyl)phenyl)thio]- (CA INDEX NAME)



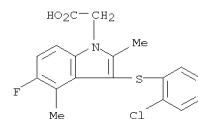
RN 628737-01-9 CAPLUS
 CN 1H-Indole-1-acetic acid, 2,5-dimethyl-4-(methylsulfonyl)-3-[(4-phenyl-2-thiazolyl)thio]- (CA INDEX NAME)



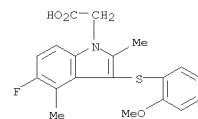
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 CN 1H-Indole-1-acetic acid, 3-[(4-cyanophenyl)thio]-5-fluoro-2,4-dimethyl-, (CA INDEX NAME)



RN 628736-96-9 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2-chlorophenyl)thio]-5-fluoro-2,4-dimethyl-, (CA INDEX NAME)

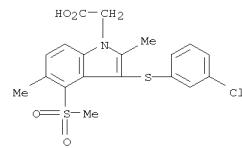


RN 628736-97-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-fluoro-3-[(2-methoxyphenyl)thio]-2,4-dimethyl-, (CA INDEX NAME)

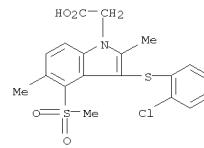


RN 628736-98-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2-ethylphenyl)thio]-5-fluoro-2,4-dimethyl-, (CA INDEX NAME)

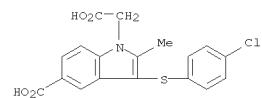
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 628737-04-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-chlorophenyl)thio]-2,5-dimethyl-4-(methylsulfonyl)-, (CA INDEX NAME)



RN 628737-05-3 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2-chlorophenyl)thio]-2,5-dimethyl-4-(methylsulfonyl)-, (CA INDEX NAME)

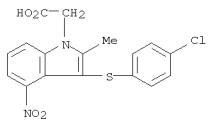


RN 628737-10-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-carboxy-3-[(4-chlorophenyl)thio]-2-methyl-, (CA INDEX NAME)

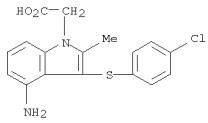


RN 628737-11-1 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-2-methyl-4-nitro-, (CA INDEX NAME)

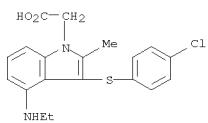
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 628737-14-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 4-amino-3-[(4-chlorophenyl)thio]-2-methyl- (CA INDEX NAME)

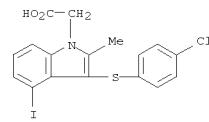


RN 628737-17-7 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-4-(ethylamino)-2-methyl- (CA INDEX NAME)

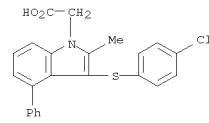


RN 628737-18-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-4-iodo-2-methyl- (CA INDEX NAME)

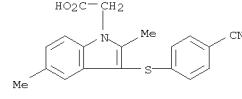
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



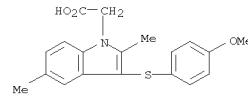
RN 628737-20-2 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-chlorophenyl)thio]-2-methyl-4-phenyl- (CA INDEX NAME)



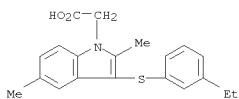
RN 628737-22-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-cyanophenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



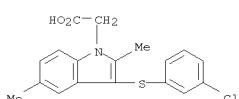
RN 628737-23-5 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(4-methoxyphenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



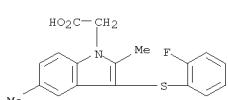
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 628737-24-6 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-ethylphenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



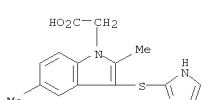
RN 628737-25-7 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(3-chlorophenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



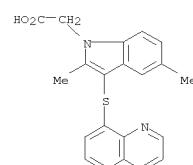
RN 628737-26-8 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(2-fluorophenyl)thio]-2,5-dimethyl- (CA INDEX NAME)



RN 628737-27-9 CAPLUS
 CN 1H-Indole-1-acetic acid, 3-[(1H-imidazol-2-ylthio)-2,5-dimethyl- (CA INDEX NAME)



L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 RN 628737-28-0 CAPLUS
 CN 1H-Indole-1-acetic acid, 2,5-dimethyl-3-(8-quinolinylthio)- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L9 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ED Entered STN: 26 Dec 1986
 GI For diagram(s), see printed CA Issue.
 AB Indoles are oxidatively coupled with various cyclic and acyclic thioureas using iodine to give indolylisothiourea derivs. e.g. I (n = 1, 2) and II. Similar products are obtained from benz[g]indole, 1,6,6-trimethyl-4,5,6,7-tetrahydroindole, and 7-azaindole, while alkylation of 3-mercaptopindole with chloromethyl imidazoline leads to III. Among the products so obtained 3-(2-imidazolin-2-ylthio)indole is a potent vasoconstrictor and the HCl salt forms the active ingredient of Varsyl. 3-Mercaptoundole, readily obtained by alkali treatment of S-(3-indolyl)isothiourea, is converted into amine derivs., and to acids, e.g. IV. Acid-catalysis

of IV gives the expected thiopyranone as well as the isomeric ketone. A mechanism is proposed for this novel rearrangement. 3-Mercaptoundole is also converted to α -methylamino acid V via the hydantoin.

3-Mercaptoundole-2-carboxylic acid (VI) is transformed to a variety of methylated derivs. The amino acid VII arising by the action of ethylenimine on VI is esterified and cyclized to the condensed thiazepinone VIII.

ACCESSION NUMBER: 19861626266 CAPLUS
 Correction of: 1982:142615

DOCUMENT NUMBER: 105:236266
 Correction of: 96:142615

ORIGINAL REFERENCE NO.: 105:36527a,36530a

TITLE: Derivatives of 3-mercaptopindole - synthesis of a potent vasoconstrictor, 3-(2-imidazolin-2-ylthio)indole (tinazoline)

AUTHOR(S): Nagarajan, K.; Arya, V. P.; Parthasarathy, T. N.; Shenoy, S. J.; Shah, R. K.; Kulkarni, Y. S.

CORPORATE SOURCE: Res. Cent., Ciba-Geigy, Bombay, 400 063, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1981), 20B(8), 672-9

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

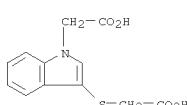
LANGUAGE: English

OTHER SOURCE(S): CASREACT 105:226266

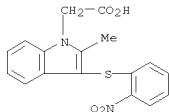
IT 80412-19-7P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 80412-19-7 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(carboxymethyl)thio]- (CA INDEX NAME)



L9 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ED Entered STN: 12 May 1984
 AB The N -o-nitrophenylsulfonyl group was cleaved from peptides in solution or on a solid support by NH_4SCN in the presence of the title indole (I). After the cleavage, I and (2-methyl-3-(2-nitrophenylthio)indol-1-yl)acetic acid can be removed by extraction with base. I was prepared by treating 2-methylindole with $\text{BrCH}_2\text{CO}_2\text{Na}$.
 ACCESSION NUMBER: 1983:488557 CAPLUS
 DOCUMENT NUMBER: 99:80555
 ORIGINAL REFERENCE NO.: 99:13677a,13680a
 TITLE: Deblocking of o-nitrophenylsulfonyl-protected peptides by ammonium thiocyanate and (2-methyl-2-indolyl)acetic acid
 AUTHOR(S): Luescher, Immanuel F.; Schneider, Conrad H.
 CORPORATE SOURCE: Inst. Clin. Immunol., Univ. Bern, Bern, CH-3010, Switz.
 SOURCE: Helvetica Chimica Acta (1983), 66(2), 602-5
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 86704-63-4P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
 RN 86704-63-4 CAPLUS
 CN 1H-Indole-1-acetic acid, 2-methyl-3-[(2-nitrophenyl)thio]- (CA INDEX NAME)



L9 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L9 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 ED Entered STN: 12 May 1984
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Indoles are oxidatively coupled with various cyclic and acyclic thioureas using iodine to give indolylisothiourea derivs. e.g. I (n = 1, 2) and II. Similar products are obtained from benz[g]indole, 1,6,6-trimethyl-4,5,6,7-tetrahydroindole, and 7-azaindole, while alkylation of 3-mercaptopindole with chloromethyl imidazoline leads to III. Among the products so obtained 3-(2-imidazolin-2-ylthio)indole is a potent vasoconstrictor and the HCl salt forms the active ingredient of Varsyl. 3-Mercaptoundole, readily obtained by alkali treatment of S-(3-indolyl)isothiourea, is converted into amine derivs., and to acids, e.g. IV. Acid-catalyzed cyclization of IV gives the expected thiopyranone as well as the isomeric ketone. A mechanism is proposed for this novel rearrangement.

3-Mercaptoundole is also converted to α -methylamino acid V via the hydantoin. 3-Mercaptoundole-2-carboxylic acid (VI) is transformed to a variety of methylated derivs. The amino acid VII arising by the action

of ethylenimine on VI is esterified and cyclized to the condensed thiazepinone VIII.

ACCESSION NUMBER: 1982:142615 CAPLUS

DOCUMENT NUMBER: 96:142615

ORIGINAL REFERENCE NO.: 96:23449a,23452a

TITLE: Derivatives of 3-mercaptopindole - synthesis of a potent vasoconstrictor, 3-(2-imidazolin-2-ylthio)indole (tinazoline)

AUTHOR(S): Nagarajan, K.; Arya, V. P.; Parthasarathy, T. N.; Shenoy, S. J.; Shah, R. K.; Kulkarni, Y. S.

CORPORATE SOURCE: Res. Cent., Ciba-Geigy, Bombay, 400 063, India
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1981), 20B(8), 672-9

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 80412-19-7P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 80412-19-7 CAPLUS

CN 1H-Indole-1-acetic acid, 3-[(carboxymethyl)thio]- (CA INDEX NAME)

